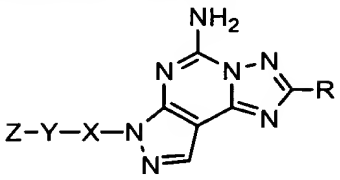
We claim:

1. Compounds having the structural formula

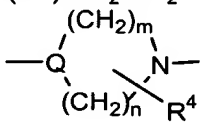


or a pharmaceutically acceptable salt thereof, wherein

R is R^1-furanyl, R^1-thienyl, R^1-pyridyl, R^1-pyridyl N-oxide, R^1-oxazolyl, R^{10}-phenyl, R^1-pyrrolyl or C_4-C_6 cycloalkenyl;

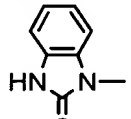
X is C_2-C_6 alkylene or $-C(O)CH_2$-;

Y is $-N(R^2)CH_2CH_2N(R^3)$-, $-OCH_2CH_2N(R^2)$-, -O-, -S-, $-CH_2S$-, $-(CH_2)_2-NH$-, or

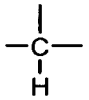


and

Z is R^5-phenyl, R^5-phenyl(C_1-C_6)alkyl, R^5-heteroaryl, diphenylmethyl, R^6-C(O)-, R^6-SO_2-, R^6-OC(O)-, R^7-$N(R^8)$-C(O)-, R^7-$N(R^8)$-C(S)-,



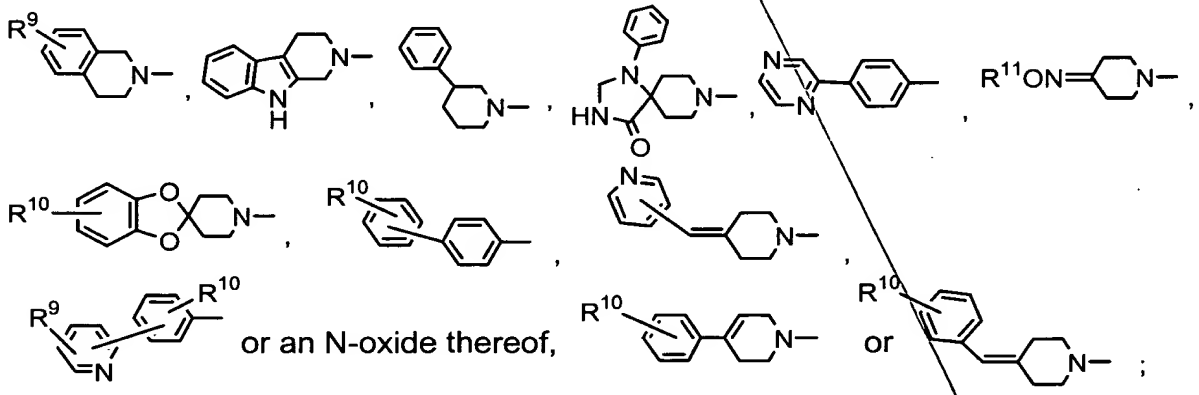
, phenyl-CH(OH)-, or



phenyl-C(=NOR^2)-; or when Q is , Z is also phenylamino or pyridylamino;

or

Z and Y together are



or an N-oxide thereof,

or

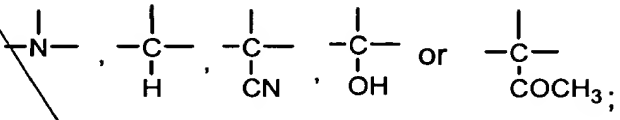
;

R^1 is 1 to 3 substituents independently selected from hydrogen, C_1-C_6-alkyl, $-CF_3$, halogen, $-NO_2$, $-NR^{12}R^{13}$, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, and C_1-C_6 alkylsulfonyl;

R^2 and R^3 are independently selected from the group consisting of hydrogen and C_1-C_6 alkyl;

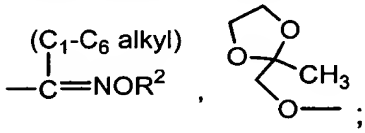
m and n are independently 2-3;

Q is



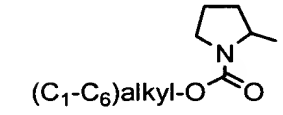
R^4 is 1-2 substituents independently selected from the group consisting of hydrogen and C_1-C_6alkyl, or two R^4 substituents on the same carbon can form =O;

R^5 is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, -CN, di-((C_1-C_6)alkyl)amino, $-CF_3$, $-OCF_3$, acetyl, $-NO_2$, hydroxy(C_1-C_6)alkoxy, (C_1-C_6)-alkoxy(C_1-C_6)alkoxy, di-((C_1-C_6)-alkoxy)(C_1-C_6)alkoxy, (C_1-C_6)-alkoxy(C_1-C_6)alkoxy-(C_1-C_6)-alkoxy, carboxy(C_1-C_6)-alkoxy, (C_1-C_6)-alkoxycarbonyl(C_1-C_6)alkoxy, (C_3-C_6)cycloalkyl(C_1-C_6)alkoxy, di-((C_1-C_6)alkyl)amino(C_1-C_6)alkoxy, morpholinyl, (C_1-C_6)alkyl-SO_2-, (C_1-C_6)alkyl-SO_2-(C_1-C_6)alkoxy, tetrahydropyranyloxy, (C_1-C_6)alkylcarbonyl(C_1-C_6)-alkoxy, (C_1-C_6)-alkoxycarbonyl, (C_1-C_6)alkylcarbonyloxy(C_1-C_6)-alkoxy, $-SO_2NH_2$, phenoxy,



; or adjacent R^5 substituents together are $-O-CH_2-O-$, $-O-CH_2CH_2-O-$, $-O-CF_2-O-$ or $-O-CF_2CF_2-O-$ and form a ring with the carbon atoms to which they are attached;

R^6 is (C_1-C_6)alkyl, R^5-phenyl, R^5-phenyl(C_1-C_6)alkyl, thienyl, pyridyl, (C_3-C_6)-cycloalkyl, (C_1-C_6)alkyl-OC(O)-NH-(C_1-C_6)alkyl-, di-((C_1-C_6)alkyl)aminomethyl, or



;

R^7 is (C_1-C_6)alkyl, R^5-phenyl or R^5-phenyl(C_1-C_6)alkyl;

R^8 is hydrogen or C_1-C_6 alkyl; or R^7 and R^8 together are $-(CH_2)_p$-A-$(CH_2)_q$, wherein p and q are independently 2 or 3 and A is a bond, $-CH_2$-, -S- or –O-, and form a ring with the nitrogen to which they are attached;

R^9 is 1-2 groups independently selected from hydrogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, halogen, $-CF_3$ and (C_1-C_6)alkoxy(C_1-C_6)alkoxy ;

R^{10} is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, -CN, $-NH_2$, C_1-C_6alkylamino, di-((C_1-C_6)alkyl)amino, $-CF_3$, $-OCF_3$ and $-S(O)_{0-2}$(C_1-C_6)alkyl;

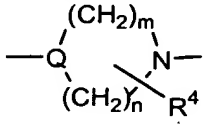
R^{11} is H, C_1-C_6 alkyl, phenyl, benzyl, C_2-C_6 alkenyl, C_1-C_6 alkoxy(C_1-C_6)alkyl, di-((C_1-C_6)alkyl)amino(C_1-C_6)alkyl, pyrrolidinyl(C_1-C_6)alkyl or piperidino(C_1-C_6)alkyl;

R^{12} is H or C_1-C_6 alkyl; and
R^{13} is (C_1-C_6)alkyl-C(O)- or (C_1-C_6)alkyl-SO_2-.

2. A compound of claim 1 wherein R is R^1-furanyl.

3. A compound of claim 1 wherein X is C_2-C_6 alkylene.

4. A compound of claim 1 wherein Y is



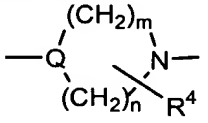
5. A compound of claim 5 wherein Q is  or  .

6. A compound of claim 5 wherein m and n are each 2, and R^4 is H.

7. A compound of claim 1 wherein Z is R^5-phenyl, R^5-heteroaryl, R^6-C(O)- or R^6-SO_2-.

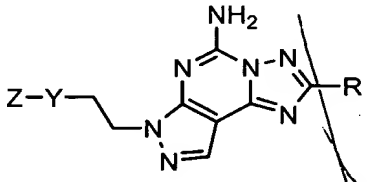
8. A compound of claim 7 wherein R^5 is H, halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, hydroxy(C_1-C_6)alkoxy or (C_1-C_6)alkoxy(C_1-C_6)alkoxy, or R^6 is R^5-phenyl.

9. A compound of claim 1 wherein R is R^1-furanyl, X is C_2-C_6 alkylene, Y is

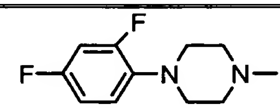
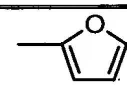
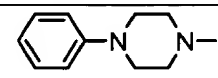
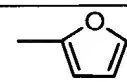
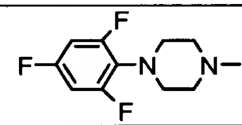
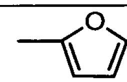
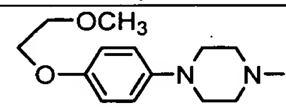
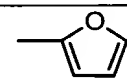
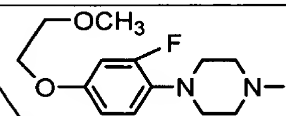
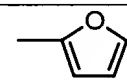
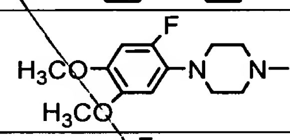
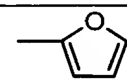
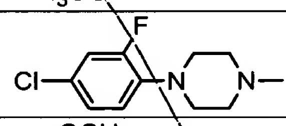
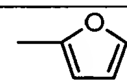
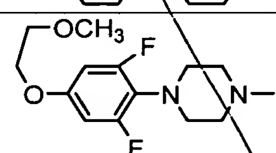
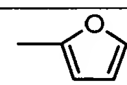
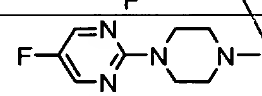
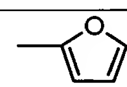
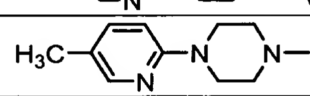
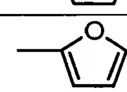
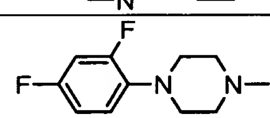
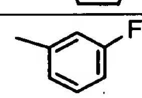
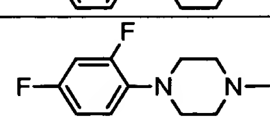
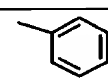


Q is  or , m and n are each 2, R^4 is H, Z is R^5-phenyl, R^5-heteroaryl, R^6-C(O)- or R^6-SO_2-, R^5 is H, halogen, C_1-C_6 alkyl, C_1-C_6 alkoxy, hydroxy(C_1-C_6)alkoxy or (C_1-C_6)alkoxy(C_1-C_6)alkoxy, and R^6 is R^5-phenyl.

10. A compound of claim 1 selected from the group consisting of compounds of the formula



wherein R and Z-Y are as defined in the following table:

Z-Y-	R
	
	
	
	
	
	
	
	
	
	
	
	

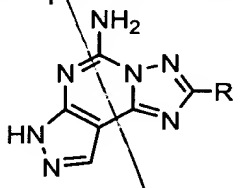
~~11~~ 14. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in a pharmaceutically acceptable carrier.

Sub A2 ~~12~~ 15. A method of treating central nervous system diseases or stroke, comprising administering an effective amount of a compound of formula I to a mammal in need of such treatment.

16 15
13. A method of claim 12 for treating depression, cognitive diseases and neurodegenerative diseases.

17 16
14. A method of claim 13 for treating Parkinson's disease, senile dementia or psychoses of organic origin.

15. A process of preparing a compound of formula II



II

wherein R is R^1-furanyl, R^1-thienyl, R^1-pyridyl, R^1-pyridyl N-oxide, R^1-oxazolyl, R^{10}-phenyl, R^1-pyrrolyl or C_4-C_6 cycloalkenyl;

R^1 is 1 to 3 substituents independently selected from hydrogen, C_1-C_6-alkyl, $-CF_3$, halogen, $-NO_2$, $-NR^{12}R^{13}$, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, and C_1-C_6 alkylsulfonyl;

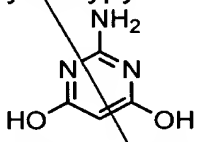
R^{10} is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, -CN, $-NH_2$, C_1-C_6alkylamino, di-((C_1-C_6)alkyl)amino, $-CF_3$, $-OCF_3$ and $-S(O)_{0-2}(C_1$-$C_6)$alkyl;

R^{12} is H or C_1-C_6 alkyl; and

R^{13} is (C_1-C_6)alkyl-C(O)- or (C_1-C_6)alkyl-SO_2-;

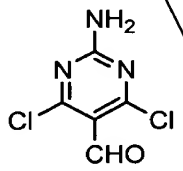
comprising

(1) treating 2-amino-4,6-dihydroxypyrimidine



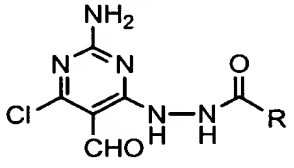
VI

with $POCl_3$ in dimethylformamide to obtain 2-amino-4,6-dichloropyrimidine-5-carboxaldehyde



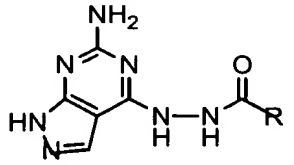
VII

(2) treating carboxaldehyde VII with a hydrazide of the formula H_2N-NH-C(O)-R, wherein R is as defined above, to obtain



VIII

(3) treating the intermediate of formula VIII with hydrazine hydrate to form a pyrazolo ring, thus obtaining the intermediate of formula IX



IX

(4) forming the desired compound of formula II by dehydrative rearrangement.

16. A process for preparing a compound of the formula II

II

wherein R is R^1-furanyl, R^1-thienyl, R^1-pyridyl, R^1-pyridyl N-oxide, R^1-oxazolyl, R^{10}-phenyl, R^1-pyrrolyl or C_4-C_6 cycloalkenyl;

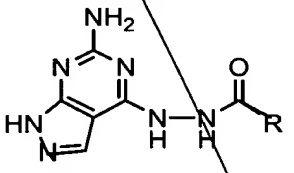
R^1 is 1 to 3 substituents independently selected from hydrogen, C_1-C_6-alkyl, $-CF_3$, halogen, $-NO_2$, $-NR^{12}R^{13}$, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, and C_1-C_6 alkylsulfonyl;

R^{10} is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, -CN, $-NH_2$, C_1-C_6alkylamino, di-((C_1-C_6)alkyl)amino, $-CF_3$, $-OCF_3$ and $-S(O)_{0-2}$(C_1-C_6)alkyl;

R^{12} is H or C_1-C_6 alkyl; and

R^{13} is (C_1-C_6)alkyl-C(O)- or (C_1-C_6)alkyl-SO_2-;

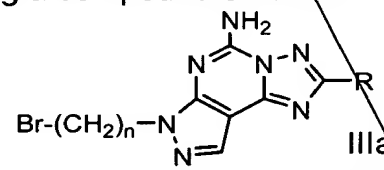
comprising converting a compound of formula IX



IX

into the desired compound of formula II by dehydrative rearrangement.

17. A process for preparing a compound of formula IIIa



IIIa

wherein R is R^1-furanyl, R^1-thienyl, R^1-pyridyl, R^1-pyridyl N-oxide, R^1-oxazolyl, R^{10}-phenyl, R^1-pyrrolyl or C_4-C_6 cycloalkenyl;

R^1 is 1 to 3 substituents independently selected from hydrogen, C_1-C_6-alkyl, $-CF_3$, halogen, $-NO_2$, $-NR^{12}R^{13}$, C_1-C_6 alkoxy, C_1-C_6 alkylthio, C_1-C_6 alkylsulfinyl, and C_1-C_6 alkylsulfonyl;

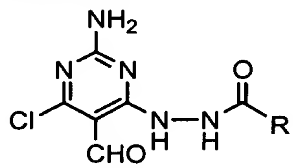
R^{10} is 1 to 5 substituents independently selected from the group consisting of hydrogen, halogen, C_1-C_6 alkyl, hydroxy, C_1-C_6 alkoxy, -CN, $-NH_2$, C_1-C_6alkylamino, di-((C_1-C_6)alkyl)amino, $-CF_3$, $-OCF_3$ and $-S(O)_{0-2}(C_1$-$C_6)$alkyl;

R^{12} is H or C_1-C_6 alkyl; and

R^{13} is (C_1-C_6)alkyl-C(O)- or (C_1-C_6)alkyl-SO_2-;

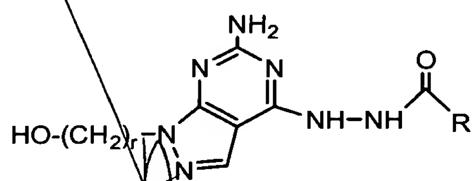
comprising

(1) treating a chloride of formula VIII



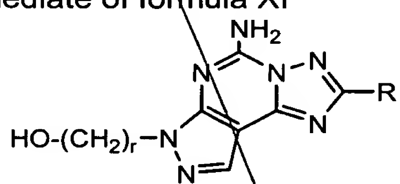
VIII

with a hydroxyalkyl hydrazine of the formula HO-$(CH_2)_r$-$NHNH_2$, wherein r is 2-6, to obtain



X

(2) cyclizing the intermediate of formula X by dehydrative rearrangement to obtain the tricyclic intermediate of formula XI



XI; and

(3) converting the hydroxy compound of formula XI to the bromide of formula IIIa.

18. A pharmaceutical composition comprising a therapeutically effective amount of a combination of a compound of claim 1 and 1 to 3 other agents useful in treating Parkinson's disease in a pharmaceutically acceptable carrier

19. A method of treating Parkinson's disease comprising administering to a mammal in need of such treatment an effective amount of a combination of a compound of claim 1 and 1 to 3 other agents useful in treating Parkinson's disease.

20. The method of claim 19 wherein the other agents are selected from the group consisting of L-DOPA, dopaminergic agonists, MAO-B inhibitors, DOPA decarboxylase inhibitors and COMT inhibitors.

add A3